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TERMINAL (ENTER 1, 2, 3, OR ?):2

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NEWS	1		Web Page URLs for STN Seminar Schedule - N. America
NEWS	2		"Ask CAS" for self-help around the clock
NEWS	3	FEB 25	CA/CAPLUS - Russian Agency for Patents and Trademarks (ROSPATENT) added to list of core patent offices covered
NEWS	4	FEB 28	PATDPAFULL - New display fields provide for legal status data from INPADOC
NEWS	5	FEB 28	BABS - Current-awareness alerts (SDIs) available
NEWS	6	FEB 28	MEDLINE/LMEDLINE reloaded
NEWS	7	MAR 02	GBFULL: New full-text patent database on STN
NEWS	8	MAR 03	REGISTRY/ZREGISTRY - Sequence annotations enhanced
NEWS	9	MAR 03	MEDLINE file segment of TOXCENTER reloaded
NEWS	10	MAR 22	KOREAPAT now updated monthly; patent information enhanced
NEWS	11	MAR 22	Original IDE display format returns to REGISTRY/ZREGISTRY
NEWS	12	MAR 22	PATDPASPC - New patent database available
NEWS	13	MAR 22	REGISTRY/ZREGISTRY enhanced with experimental property tags
NEWS	14	APR 04	EPFULL enhanced with additional patent information and new fields
NEWS	15	APR 04	EMBASE - Database reloaded and enhanced
NEWS	16	APR 18	New CAS Information Use Policies available online
NEWS	17	APR 25	Patent searching, including current-awareness alerts (SDIs), based on application date in CA/CAplus and USPATFULL/USPAT2 may be affected by a change in filing date for U.S. applications.
NEWS	18	APR 28	Improved searching of U.S. Patent Classifications for U.S. patent records in CA/CAplus
NEWS	19	MAY 23	GBFULL enhanced with patent drawing images
NEWS	20	MAY 23	REGISTRY has been enhanced with source information from CHEMCATS
NEWS	21	MAY 26	STN User Update to be held June 6 and June 7 at the SLA 2005 Annual Conference

NEWS EXPRESS	JANUARY 10 CURRENT WINDOWS VERSION IS V7.01a, CURRENT MACINTOSH VERSION IS V6.0c(ENG) AND V6.0Jc(JP), AND CURRENT DISCOVER FILE IS DATED 10 JANUARY 2005
NEWS HOURS	STN Operating Hours Plus Help Desk Availability
NEWS INTER	General Internet Information
NEWS LOGIN	Welcome Banner and News Items
NEWS PHONE	Direct Dial and Telecommunication Network Access to STN
NEWS WWW	CAS World Wide Web Site (general information)

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* * * * * * * * * * * * * * * STN Columbus * * * * * * * * * * * * * * * *

FILE 'HOME' ENTERED AT 11:30:35 ON 30 MAY 2005

=> file reg

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	0.21	0.21

FILE 'REGISTRY' ENTERED AT 11:30:45 ON 30 MAY 2005
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Property values tagged with IC are from the ZIC/VINITI data file provided by InfoChem.

STRUCTURE FILE UPDATES: 29 MAY 2005 HIGHEST RN 851364-46-0
DICTIONARY FILE UPDATES: 29 MAY 2005 HIGHEST RN 851364-46-0

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TSCA INFORMATION NOW CURRENT THROUGH JANUARY 18, 2005

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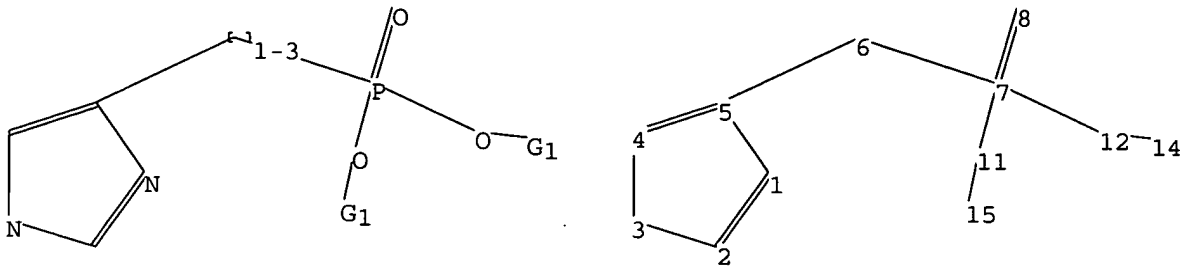
****
* *
* The CA roles and document type information have been removed from *
* the IDE default display format and the ED field has been added, *
* effective March 20, 2005. A new display format, IDERL, is now *
* available and contains the CA role and document type information. *
* *
****

Crossover limits have been increased. See HELP CROSSOVER for details.

Experimental and calculated property data are now available. For more information enter HELP PROP at an arrow prompt in the file or refer to the file summary sheet on the web at:
http://www.cas.org/ONLINE/DBSS/registryss.html

=>
Uploading C:\Program Files\Stnexp\Queries\10501801.str



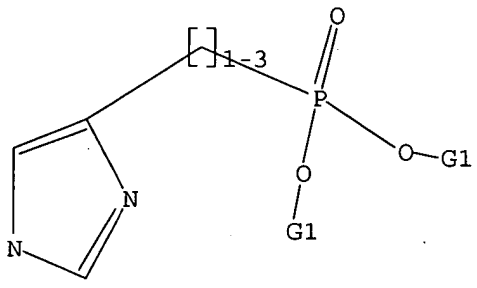
chain nodes :
6 7 8 11 12 14 15
ring nodes :
1 2 3 4 5
chain bonds :
5-6 6-7 7-8 7-11 7-12 11-15 12-14
ring bonds :
1-2 1-5 2-3 3-4 4-5
exact/norm bonds :
1-2 1-5 2-3 3-4 4-5 7-8 7-11 7-12 11-15 12-14
exact bonds :
5-6 6-7

G1:Cb,Ak

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:CLASS 7:CLASS 8:CLASS 11:CLASS
12:CLASS 14:CLASS 15:CLASS

L1 STRUCTURE UPLOADED

=> d
L1 HAS NO ANSWERS
L1 STR



G1 Cb,Ak

Structure attributes must be viewed using STN Express query preparation.

=> s l1

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SAMPLE SEARCH INITIATED 11:31:06 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED -     21 TO ITERATE

100.0% PROCESSED      21 ITERATIONS                                3 ANSWERS
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS:  ONLINE  **COMPLETE**
                        BATCH   **COMPLETE**
PROJECTED ITERATIONS:          146 TO      694
PROJECTED ANSWERS:               3 TO      163

L2            3 SEA SSS SAM L1

=> s l1 full
FULL SEARCH INITIATED 11:31:12 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED -    420 TO ITERATE

100.0% PROCESSED     420 ITERATIONS                               57 ANSWERS
SEARCH TIME: 00.00.01

L3           57 SEA SSS FUL L1

=> file caplus
COST IN U.S. DOLLARS                          SINCE FILE      TOTAL
                                                   ENTRY    SESSION
FULL ESTIMATED COST                               161.33     161.54

FILE 'CAPLUS' ENTERED AT 11:31:17 ON 30 MAY 2005
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FILE COVERS 1907 - 30 May 2005  VOL 142 ISS 23
FILE LAST UPDATED: 29 May 2005  (20050529/ED)

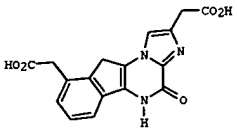
New CAS Information Use Policies, enter HELP USAGETERMS for details.

  This file contains CAS Registry Numbers for easy and accurate
  substance identification.

=> s l3
L4           20 L3

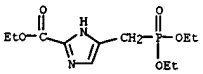
=> d ibib abs hitstr tot
```

L4 ANSWER 1 OF 20 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2004:202967 CAPLUS
DOCUMENT NUMBER: 142:38213
TITLE: Synthesis of novel imidazo[1,2-a]indeno[1,2-e]pyrazine-4-one acids as potent AMPA antagonists
AUTHOR(S): Mignani, Serge; Stutzmann, Jean-Marie; Vuilhorgne, Marc
CORPORATE SOURCE: Centre de Recherche de Paris, Aventis Pharma S. A., Vitry-sur-Seine, 94403, Fr.
SOURCE: Trends in Heterocyclic Chemistry (2002), 8, 49-60
CODEN: TIHCE6
PUBLISHER: Research Trends
DOCUMENT TYPE: Journal
LANGUAGE: English
GI



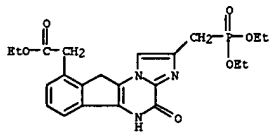
I

AB The overstimulation of excitatory amino acid receptors such as the glutamate AMPA receptor has been implicated in the physiopathogenesis of epilepsy as well as in acute and chronic neurodegenerative disorders. In this paper the synthesis of new 4-oxo-imidazo[1,2-a]indeno[1,2-e]pyrazin-8- and -9-carboxylic (phosphonic, acetic) acid derivs., e.g., I, is described. These compds. have demonstrated highly selective and potent AMPA antagonist activity in vivo.
IT **193813-70-6**
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation and AMPA antagonistic activity of imidazoindenopyrazinoneacetic acids via bromination of indanoneacetate followed by substitution with imidazolecarboxylates, heterocyclization, and saponification)
RN 193813-70-6 CAPLUS
CN 1H-Imidazole-2-carboxylic acid, 4-[(diethoxyphosphinyl)methyl]-, ethyl ester (9CI) (CA INDEX NAME)

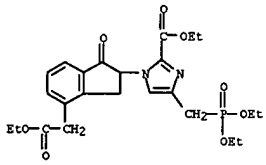


IT **193813-94-4P 193813-95-5P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT

L4 ANSWER 1 OF 20 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
(Reactant or reagent)
(prepn. and AMPA antagonistic activity of imidazoindenopyrazinoneacetic acids via bromination of indanoneacetate followed by substitution with imidazolecarboxylates, heterocyclization, and sapon.)
RN 193813-94-4 CAPLUS
CN 4H-Imidazo[1,2-a]indeno[1,2-e]pyrazine-9-acetic acid, 2-[(diethoxyphosphinyl)methyl]-5,10-dihydro-4-oxo-, ethyl ester (9CI) (CA INDEX NAME)

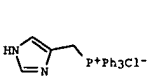


RN 193813-95-5 CAPLUS
CN 1H-Imidazole-2-carboxylic acid, 4-[(diethoxyphosphinyl)methyl]-1-[4-(2-ethoxy-2-oxoethyl)-2,3-dihydro-1-oxo-1H-inden-2-yl]-, ethyl ester (9CI) (CA INDEX NAME)

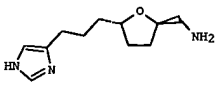


REFERENCE COUNT: 27 THERE ARE 27 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

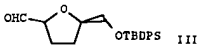
L4 ANSWER 2 OF 20 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2004:9871 CAPLUS
DOCUMENT NUMBER: 140:199265
TITLE: Formation of two 4-imidazolylmethylphosphonium salts and their synthetic studies toward histamine H3-ligands
AUTHOR(S): Harusawa, Shinya; Kawamura, Makoto; Koyabu, Shuji; Hosokawa, Tomoko; Araki, Lisa; Sakamoto, Yasuhiko; Hashimoto, Takeshi; Yamamoto, Yumiko; Yamatodani, Atsushi; Kurihara, Takushi
CORPORATE SOURCE: Osaka University of Pharmaceutical Sciences, Osaka, 569-1094, Japan
SOURCE: Synthesis (2003), (18), 2844-2850
CODEN: SYNTBF; ISSN: 0039-7881
PUBLISHER: Georg Thieme Verlag
DOCUMENT TYPE: Journal
LANGUAGE: English
GI



I



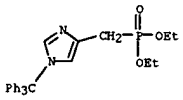
II



III

AB A simple and convenient preparation of {[1H-imidazol-4(5)-yl]methyl}triphenylphosphonium chloride (I) is described. I could be applied to the synthesis of 1-[1H-imidazol-4(5)-yl]-5-arylpentan- or 6-arylhexan-3-ones exhibiting histamine H3-antagonistic activities via a 1,3-diazafulvene intermediate generated from I. Further, two-methylene-elongated homolog II of imifuramine was efficiently synthesized, starting from Wittig olefination of aldehyde III using [(1-tritylimidazol-4-yl)methyl]triphenylphosphonium chloride.
IT **473659-21-1**
RL: RCT (Reactant); RACT (Reactant or reagent)
(application of imidazolylmethylphosphonium salts to synthesis of two methylene-elongated homolog of imifuramine)
RN 473659-21-1 CAPLUS
CN Phosphonic acid, [[1-(triphenylmethyl)-1H-imidazol-4-yl]methyl]-, diethyl ester (9CI) (CA INDEX NAME)

L4 ANSWER 2 OF 20 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



REFERENCE COUNT: 39 THERE ARE 39 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 3 OF 20 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2003:678805 CAPLUS
DOCUMENT NUMBER: 139:230776
TITLE: Improvement in the production of imidazole derivatives and novel intermediates of the derivatives
INVENTOR(S): Sakamoto, Yasuhiko; Kurihara, Takushi; Harusawa, Shinya
PATENT ASSIGNEE(S): Azwell Inc., Japan
SOURCE: PCT Int. Appl., 24 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

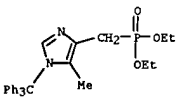
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003070722	A1	20030828	WO 2003-JP1687	20030218
W: JP, US				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR				
EP 1477487	A1	20041117	EP 2003-705258	20030218
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, FI, CY, TR, BG, CZ, EE, HU, SK				
US 2005043277	A1	20050224	US 2004-501801	20040720
PRIORITY APPLN. INFO.:			JP 2002-44760 A	20020221
			WO 2003-JP1687 W	20030218

OTHER SOURCE(S): CASREACT 139:230776; MARPAT 139:230776
GI

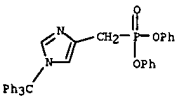
* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Disclosed is an improvement in the production of imidazole derivs. including histamine H3 agonist immepip and histamine H3 antagonist VUF4929. Desired imidazole derivs. (I, II; wherein R1 is an amino-protecting group; R2 and R3 are each independently hydrogen, lower alkyl, or hydroxylated lower alkyl; R4 is lower alkyl, halogenated lower alkyl, or substituted or unsubstituted phenyl; A is C1-3 alkylene; R5 is amino-protecting group or lower alkyl; and R6 is h or lower alkyl; m is an integer of 1-3; and n is an integer of 0-3) can be easily obtained in high yield by using novel intermediates represented by the general formula (III) (R1-R3 and A are same as above). The intermediates III are prepared by reaction of phosphonic acid esters of formula (R4O)2P(:O)H with imidazole derivs. (IV; R1-R3 and A are same as above; X is halo, methanesulfonyloxy, p-toluenesulfonyloxy) and undergo Horner-Emmons condensation with (4-piperidinyl)alkanal (V; R5 is same as above) or 4-piperidinone derivs. (VI; R5 is same as above) followed by reduction of the resulting intermediates
(VII or VIII; R1-R6, m, and n are same as above) to give the target imidazole derivs. I or II. Thus, a THF solution of 1 M lithium bistrimetylsilylamide (31.2 mL, 31.2 mmol) was added dropwise to a solution of 4.30 g di-Et phosphite in 10 mL THF at -72° over 1 h, followed by adding dropwsie a solution of 9.30 g (1-triphenylmethylimidazol-4-

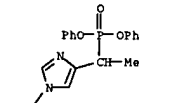
L4 ANSWER 3 OF 20 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
RN 591768-16-0 CAPLUS
CN Phosphonic acid, [[5-methyl-1-(triphenylmethyl)-1H-imidazol-4-yl]methyl]-, diethyl ester (9CI) (CA INDEX NAME)



RN 591768-17-1 CAPLUS
CN Phosphonic acid, [[1-(triphenylmethyl)-1H-imidazol-4-yl]methyl]-, diphenyl ester (9CI) (CA INDEX NAME)

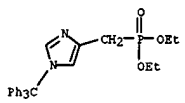


RN 591768-18-2 CAPLUS
CN Phosphonic acid, [1-[1-(triphenylmethyl)-1H-imidazol-4-yl]ethyl]-, diphenyl ester (9CI) (CA INDEX NAME)

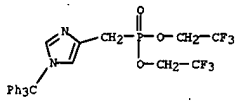


REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 3 OF 20 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
yl)methyl chloride in 80 mL over 30 min, and the resulting mixt. was stirred at -72° for 15 min and at room temp. for 3 h and quenched by adding 150 mL satd. NH4Cl to give, after workup and silica gel chromatog., 86% di-Et (1-triphenylmethylimidazol-4-yl)methylphosphonate (IX) (10.30 g). IX (276 mg) and 67 mg potassium tert-butoxide were added to a soln. of 95 mg 1-benzyl-4-piperidone in 6 mL THF and refluxed with stirring for 1.5 h under Ar to give, after workup and silica gel chromatog., 99% 1-benzyl-4-(1-triphenylmethylimidazol-4-ylmethylene)piperidine which (162 mg) was dissolved 5 mL ethanol, treated with 1.5ml 1 N aq. HCl soln., evapd. under reduced pressure, dissolved in 20 ethanol, treated with 120 mg 10% Pd-C, hydrogenated at hydrogen pressure of 3.0 kg/cm2 for 15 h, filtered to remove the catalyst, and evapd. under reduced pressure to give, after workup, 4-(1H-imidazol-4-ylmethyl)piperidine dihydrochloride (immepip dihydrochloride).
IT **473659-21-1P**, Diethyl [(1-triphenylmethylimidazol-4-yl)methyl]phosphonate **591768-15-9P**, Bis(2,2,2-trifluoroethyl) [(1-triphenylmethylimidazol-4-yl)methyl]phosphonate **591768-16-0P**, Diethyl [(1-triphenylmethyl-5-methylimidazol-4-yl)methyl]phosphonate **591768-17-1P**, Diphenyl [(1-triphenylmethylimidazol-4-yl)methyl]phosphonate **591768-18-2P**, Diphenyl [1-(1-triphenylmethylimidazol-4-yl)ethyl]phosphonate
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(improved preparation of imidazole derivs. via condensation of phosphinic acid ester with imidazole derivative and Horner-Emmons reaction of imidazolylalkylphosphonates with piperidinone or piperidinylalkanal derivative)
RN 473659-21-1 CAPLUS
CN Phosphonic acid, [[1-(triphenylmethyl)-1H-imidazol-4-yl]methyl]-, diethyl ester (9CI) (CA INDEX NAME)



RN 591768-15-9 CAPLUS
CN Phosphonic acid, [[1-(triphenylmethyl)-1H-imidazol-4-yl]methyl]-, bis(2,2,2-trifluoroethyl) ester (9CI) (CA INDEX NAME)



L4 ANSWER 4 OF 20 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2003:507642 CAPLUS
DOCUMENT NUMBER: 139:81311
TITLE: Recombinant production and purification of human neutrophil protease prepro-PR-3 and its proteolytic processing and use for screening inhibitors of release of TNFα
INVENTOR(S): Halenbeck, Robert F.; Kriegler, Michael; Perez, Carl; Jewell, David A.; Koths, Kirston E.
PATENT ASSIGNEE(S): Chiron Corporation, USA
SOURCE: U.S., 53 pp., Cont.-in-part of U. S. Ser. No. 230,428.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 6
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 6586222	B1	20030701	US 1995-395456	19950228
AU 9059400	A1	19910403	AU 1990-59400	19900608
EP 491878	A1	19920701	EP 1990-917939	19900608
EP 491878	B1	19970219		
R: AT, BE, CH, DE, DK, ES, FR, GB, IT, LI, LU, NL, SE				
JP 04507044	T2	19921210	JP 1990-509543	19900608
JP 2930713	B2	19990803		
EP 750037	A2	19961227	EP 1996-202206	19900608
EP 750037	A3	19970115		
R: AT, BE, CH, DE, DK, ES, FR, GB, IT, LI, LU, NL, SE				
NO 9200593	A	19920319	NO 1992-593	19920214
NO 304854	B1	19990222		
US 5998378	A	19991207	US 1994-230428	19940419
CA 2185162	AA	19950914	CA 1995-2185162	19950302
WO 9524501	A1	19950914	WO 1995-US2513	19950302
W: AU, CA, JP, NO				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
AU 9519364	A1	19950925	AU 1995-19364	19950302
AU 709054	B2	19990819		
EP 749494	A1	19961227	EP 1995-912005	19950302
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
JP 10504441	T2	19980506	JP 1995-523506	19950302
US 6599706	B1	20030729	US 1995-487453	19950607
NO 9603726	A	19961031	NO 1996-3726	19960906
PRIORITY APPLN. INFO.:			US 1989-395253 B2	19890816
			US 1992-905546 B2	19920625
			US 1994-208574 B2	19940307
			US 1994-230428 A2	19940419
			EP 1990-917939 A3	19900608
			WO 1990-US3266 A	19900608
			US 1995-394600 A	19950227
			US 1995-395456 A	19950228
			WO 1995-US2513 W	19950302
			US 1999-395253 A2	19990816

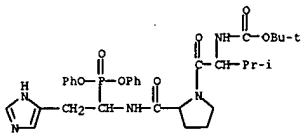
OTHER SOURCE(S): MARPAT 139:81311
AB Methods and materials are disclosed for the production of purified, active recombinant human neutrophil protease, PR-3 (also known as myeloblastin), via activation of the prepro- and pro-forms. PR-3 is cloned by transfecting Sf9 insect cells with a baculovirus vector and purified to >95% purity with an endotoxin content of <20 ng/mg PR-3 and a specific activity of .apprx.30 μmoles/min/mg PR-3 as assayed on Boc-Ala-ONP at

L4 ANSWER 4 OF 20 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
pH 7.5 at 25°. Human PR-3 is useful for discovering inhibitors of excessive release of mature, active TNFα. Also disclosed are methods for the identification of inhibitors of the conversion of the pro-form of TNFα to its mature active form.

IT **153989-15-2**
RL: BSU (Biological study, unclassified); BIOL (Biological study)
(inhibition of PR-3 by; recombinant production and purification of human neutrophil protease prepro-PR-3 and its proteolytic processing and use for screening inhibitors of release of TNFα)

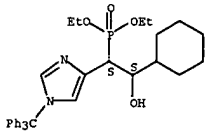
RN 153989-15-2 CAPLUS

CN L-Prolinamide, N-[(1,1-dimethylethoxy)carbonyl]-L-valyl-N-[(1R)-1-(diphenoxyphosphinyl)-2-(1H-imidazol-4-yl)ethyl]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 180 THERE ARE 180 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 5 OF 20 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

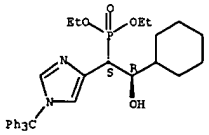


IT **473659-22-2P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of vinylimidazoles using Horner-Wadsworth-Emmons reactions of aldehydes and ketones)

RN 473659-22-2 CAPLUS

CN Phosphonic acid, [(1R,2S)-2-cyclohexyl-2-hydroxy-1-[1-(triphenylmethyl)-1H-imidazol-4-yl]ethyl]-, diethyl ester, rel- (9CI) (CA INDEX NAME)

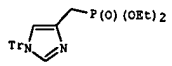
Relative stereochemistry.



REFERENCE COUNT: 25 THERE ARE 25 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 5 OF 20 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2002:478770 CAPLUS
DOCUMENT NUMBER: 137:325367
TITLE: An efficient and convenient synthesis of 4-vinylimidazoles using a novel Horner-Wadsworth-Emmons (HWE) reagent: synthetic studies toward novel histamine H3-ligands
AUTHOR(S): Haruswa, Shinya; Koyabu, Shuji; Inoue, Yasutoshi; Sakamoto, Yasuhiko; Araki, Lisa; Kurihara, Takushi
CORPORATE SOURCE: Osaka University of Pharmaceutical Sciences, Osaka, 569-1094, Japan
SOURCE: Synthesis (2002), (8), 1072-1078
CODEN: SYNTBF; ISSN: 0039-7881
PUBLISHER: Georg Thieme Verlag
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 137:325367
GI



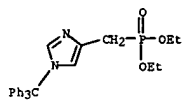
I

AB A novel Horner-Wadsworth-Emmons (HWE)-type reagent I reacted readily with various aldehydes and ketones to produce (E)-vinylimidazoles in good yields. The synthetic utility of I was demonstrated by the efficient preparation of four histamine H3 ligands by simple hydrogenation.

IT **473659-21-1P 473659-23-3P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of vinylimidazoles using Horner-Wadsworth-Emmons reactions of aldehydes and ketones)

RN 473659-21-1 CAPLUS

CN Phosphonic acid, [[1-(triphenylmethyl)-1H-imidazol-4-yl]methyl]-, diethyl ester (9CI) (CA INDEX NAME)



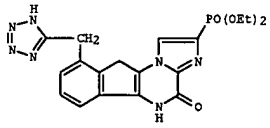
RN 473659-23-3 CAPLUS

CN Phosphonic acid, [(1R,2R)-2-cyclohexyl-2-hydroxy-1-[1-(triphenylmethyl)-1H-imidazol-4-yl]ethyl]-, diethyl ester, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

L4 ANSWER 6 OF 20 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2001:83653 CAPLUS
DOCUMENT NUMBER: 134:311175
TITLE: Bioisosteres of 9-Carboxymethyl-4-oxo-imidazo[1,2-a]indeno[1,2-e]pyrazin-2-carboxylic acid derivatives. Progress towards selective, potent In Vivo AMPA antagonists with longer durations of action
AUTHOR(S): Jimonet, P.; Bohme, G. A.; Bouquerel, J.; Boireau, A.; Damour, D.; Debono, M. W.; Genevois-Borella, A.; Hardy, J.-C.; Hubert, P.; Manfre, F.; Nemecek, P.; Pratt, J.; Randle, J. C. R.; Ribeill, Y.; Stutzmann, J.-M.; Vuilhorgne, M.; Mignani, S.
CORPORATE SOURCE: Centre de Recherche de Vitry-Alfortville, Aventis Pharma S.A., Vitry-sur-Seine, F94403, Fr.
SOURCE: Bioorganic & Medicinal Chemistry Letters (2001), 11(2), 127-132
CODEN: BMCLE8; ISSN: 0960-894X
PUBLISHER: Elsevier Science Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English
GI



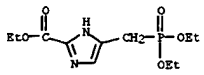
I

AB A novel series of 2- and 9-disubstituted heterocyclic-fused 4-oxo-indeno[1,2-e]pyrazin derivs. was synthesized. One of them, the 9-(1H-tetrazol-5-ylmethyl)-4-oxo-5,10-dihydroimidazo[1,2-a]indeno[1,2-e]pyrazin-2-ylphosphonic acid (I) exhibited a strong and a selective binding affinity for the AMPA receptor (IC50=13 nM) and demonstrated potent antagonist activity (IC50=6 nM) at the ionotropic AMPA receptor. This compound also displayed good anticonvulsant properties against elec.-induced convulsions after i.p. and iv administration with ED50 values between 0.8 and 1 mg/kg. Furthermore, a strong increase in potency was observed when given iv 3 h before test (ED50=3.5 instead of 25.6 mg/kg for the corresponding 9-carboxymethyl-2-carboxylic acid analog). These data confirmed that there is an advantage in replacing the classical carboxy substituents by their bioisosteres such as tetrazole or phosphonic acid groups. The tetrazol-5-ylmethyl-imidazo[1,2-a]indeno[1,2-e]pyrazin-2-yl phosphonic acid (II) exhibited potent and selective binding affinity for the AMPA receptor (IC50=13 nM). II also demonstrated a good anticonvulsant effect in MES test with ED50 values between 0.8 and 1 mg/kg (i.p. or iv) and a long duration of action followed iv administration.

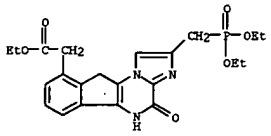
IT **193813-70-6P 193813-94-4P 193813-95-5P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of bioisosteres of 9-carboxymethyl-4-oxoimidazo[1,2-a]indeno[1,2-e]pyrazin-2-carboxylic acid derivs. as potent In Vivo AMPA antagonists with longer durations of action)

RN 193813-70-6 CAPLUS

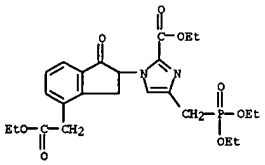
L4 ANSWER 6 OF 20 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
CN 1H-Imidazole-2-carboxylic acid, 4-[(diethoxyphosphinyl)methyl]-, ethyl ester (9CI) (CA INDEX NAME)



RN 193813-94-4 CAPLUS
CN 4H-Imidazo[1,2-a]indeno[1,2-e]pyrazine-9-acetic acid, 2-[(diethoxyphosphinyl)methyl]-5,10-dihydro-4-oxo-, ethyl ester (9CI) (CA INDEX NAME)



RN 193813-95-5 CAPLUS
CN 1H-Imidazole-2-carboxylic acid, 4-[(diethoxyphosphinyl)methyl]-1-[4-(2-ethoxy-2-oxoethyl)-2,3-dihydro-1-oxo-1H-inden-2-yl]-, ethyl ester (9CI) (CA INDEX NAME)



REFERENCE COUNT: 38 THERE ARE 38 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 7 OF 20 CAPLUS COPYRIGHT 2005 ACS on STN

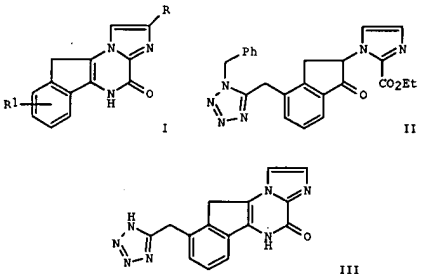
ACCESSION NUMBER: 1997:569195 CAPLUS
DOCUMENT NUMBER: 127:176439
TITLE: 5H,10H-Imidazo[1,2-a]indeno[1,2-e]pyrazin-4-one derivatives, useful as AMPA and NMDA receptor antagonists, their preparation and intermediates, and drugs containing them
INVENTOR(S): Aloup, Jean-claude; Bouquerel, Jean; Damour, Dominique; Hardy, Jean-claude; Jimonet, Patrick; Manfre, Marco; Mignani, Serge; Nemecek, Patrick
PATENT ASSIGNEE(S): Rhone-Poulenc Rorer S.A., Fr.; Aloup, Jean-Claude; Bouquerel, Jean; Damour, Dominique; Hardy, Jean-Claude; Jimonet, Patrick; Manfre, Marco; Mignani, Serge; Nemecek, Patrick
SOURCE: PCT Int. Appl., 65 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: French
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9725328	A1	19970717	WO 1997-FR19	19970106
W: AL, AU, BA, BB, BG, BR, CA, CN, CU, CZ, EE, GE, HU, IL, IS, JP, KP, KR, LC, LK, LR, LT, LV, MG, MK, MN, MX, NO, NZ, PL, RO, SG, SI, SK, TR, TT, UA, US, UZ, VN, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
FR 2743366	A1	19970711	FR 1996-192	19960110
FR 2743366	B1	19980206		
CA 2239254	AA	19970717	CA 1997-2239254	19970106
ZA 9700086	A	19970717	ZA 1997-86	19970106
AU 9713830	A1	19970801	AU 1997-13830	19970106
EP 880522	A1	19981202	EP 1997-900236	19970106
EP 880522	B1	20010919		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, FI				
CN 1207102	A	19990203	CN 1997-191643	19970106
JP 2000505073	T2	20000425	JP 1997-524911	19970106
AT 205847	E	20011015	AT 1997-900236	19970106
ES 2164323	T3	20020216	ES 1997-900236	19970106
PT 880522	T	20020531	PT 1997-900236	19970106
US 5990108	A	19991123	US 1998-101428	19980709
US 6100264	A	20000808	US 1999-352216	19990713

PRIORITY APPLN. INFO.: FR 1996-192 A 19960110
WO 1997-FR19 W 19970106
US 1998-101428 A3 19980709

OTHER SOURCE(S): MARPAT 127:176439
GI

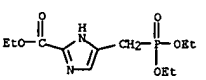
L4 ANSWER 7 OF 20 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



AB Title compds. I [R = H, CO2H, carboxyalkyl, PO3H2, CH2PO3H2, or CH:CHCO2H, C6H4CO2H; R1 = alk-CN, alk-COOH, alk-Het, alk-PO3H2, alk-CONHSO2R2; R2 = alkyl or Ph; alk = alkyl; Het = saturated or unsatd. mono- or polycyclic heterocyclic ring containing 1-9 carbon atoms and one or more heteroatoms selected from O, S and N, said heterocyclic ring optionally substituted by one or more alkyl, Ph, or phenylalkyl radicals; provided that when R = H or CO2H or PO3H2, then R1 ≠ alk-CO2H] and their isomers, racemic mixts., enantiomers, diastereoisomers, and salts are disclosed, as well as their preparation, intermediates, and drugs containing them. I have valuable pharmacol. properties, and are antagonists of the AMPA/quisqualate receptor. Furthermore, I are non-competitive antagonists of the NMDA receptor, and specifically ligands for NMDA receptor glycine modulator sites. For instance, cyclization of the (oxoindanyl)imidazolecarboxylate II (preparation given) in AcOH containing NH4OAc, and removal of the benzyl protective group with 47% HBr, gave title compound III. I inhibited binding to rat cortical AMPA receptors in vitro at concns. of ≤ 100 μM, and had LD50 values > 50 mg/kg i.p. in mice.

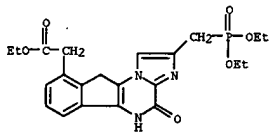
IT **193813-70-6P 193813-94-4P 193813-95-5P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate; preparation of imidazoindenopyrazinones as AMPA and NMDA receptor antagonists)

RN 193813-70-6 CAPLUS
CN 1H-Imidazole-2-carboxylic acid, 4-[(diethoxyphosphinyl)methyl]-, ethyl ester (9CI) (CA INDEX NAME)

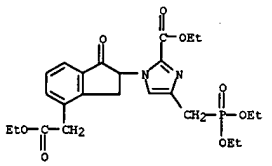


RN 193813-94-4 CAPLUS

L4 ANSWER 7 OF 20 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
CN 4H-Imidazo[1,2-a]indeno[1,2-e]pyrazine-9-acetic acid, 2-[(diethoxyphosphinyl)methyl]-5,10-dihydro-4-oxo-, ethyl ester (9CI) (CA INDEX NAME)



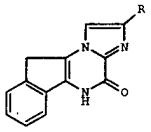
RN 193813-95-5 CAPLUS
CN 1H-Imidazole-2-carboxylic acid, 4-[(diethoxyphosphinyl)methyl]-1-[4-(2-ethoxy-2-oxoethyl)-2,3-dihydro-1-oxo-1H-inden-2-yl]-, ethyl ester (9CI) (CA INDEX NAME)



L4 ANSWER 8 OF 20 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1997:564956 CAPLUS
DOCUMENT NUMBER: 127:161837
TITLE: 2-Substituted 5H,10H-imidazo[1,2-a]indeno[1,2-e]pyrazin-4-ones, useful as AMPA and NMDA receptor antagonists, their preparation, and drugs containing them
INVENTOR(S): Aloup, Jean-claude; Bouquerel, Jean; Damour, Dominique; Hardy, Jean-claude; Mignani, Serge
PATENT ASSIGNEE(S): Rhone-Poulenc Rorer S.A., Fr.; Aloup, Jean-Claude; Bouquerel, Jean; Damour, Dominique; Hardy, Jean-Claude; Mignani, Serge
SOURCE: PCT Int. Appl., 40 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: French
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9725326	A1	19970717	WO 1997-FR17	19970106
W: AL, AU, BA, BB, BG, BR, CA, CN, CU, CZ, EE, GE, HU, IL, IS, JP, KP, KR, LC, LK, LR, LT, LV, MG, MK, MN, MX, NO, NZ, PL, RO, SG, SI, SK, TR, TT, UA, US, UZ, VN, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
FR 2743363	A1	19970711	FR 1996-190	19960110
AU 9713828	A1	19970801	AU 1997-13828	19970106
PRIORITY APPLN. INFO.:			FR 1996-190 A	19960110
			WO 1997-FR17 W	19970106

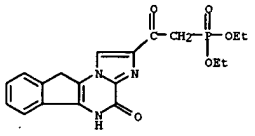
OTHER SOURCE(S): MARPAT 127:161837
GI



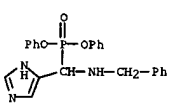
I

AB Title compds. I [R = COCH2PO3H2, CONHT, CONHOH, CONHNH2, carboxyalkyl, alkoxycarbonylalkyl, CH2PO3H2, CONHSO2R1, CH:CHCO2H, C6H4CO2H; T = tetrazol-5-yl; R1 = alkyl, CF3, or Ph optionally substituted by CO2H or alkoxycarbonyl], including their racemic mixts., isomers, enantiomers, diastereoisomers, and salts, are disclosed, as well as their preparation and drugs containing them. For instance, tert-Bu 2-(ethoxycarbonyl)-1-(1-oxoindan-

L4 ANSWER 8 OF 20 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
2-yl)imidazole-4-carboxylate (prepn. given) underwent a sequence of amidation at the Et ester, acid-catalyzed deprotection and cyclization to give the product ring system, and hydroxamidation using NH2OH.HCl, EDC, and HOBt, to give title compd. I [R = CONHOH]. I inhibited binding of AMPA to its receptor (rat cortical membrane, in vitro) at or below 100 μM, and had LD50 > 50 mg/kg i.p. in mice.
IT 193805-36-6P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate; preparation of imidazoindenopyrazinones as AMPA and NMDA receptor antagonists)
RN 193805-36-6 CAPLUS
CN Phosphonic acid, [2-(5,10-dihydro-4-oxo-4H-imidazo[1,2-a]indeno[1,2-e]pyrazin-2-yl)-2-oxoethyl]-, diethyl ester (9CI) (CA INDEX NAME)

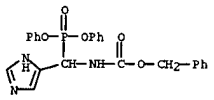


L4 ANSWER 9 OF 20 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1996:465583 CAPLUS
DOCUMENT NUMBER: 125:195832
TITLE: 1-Aminophosphonic acids and esters bearing heterocyclic moiety. Part 2. Pyridine, pyrrole and imidazole derivatives
AUTHOR(S): Boduszek, Bogdan
CORPORATE SOURCE: Inst. Org. Chem., Biochem. Biotechnol., Tech. Univ. Wroclaw, Wroclaw, 50-370, Pol.
SOURCE: Phosphorus, Sulfur and Silicon and the Related Elements (1996), 113(1-4), 209-218
CODEN: PSSLEC; ISSN: 1042-6507
PUBLISHER: Gordon & Breach
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 125:195832
AB The benzylic amines (benzylamine, benzhydrylamine and benzyl carbamate) were applied in the synthesis of aminophosphonates derived from pyridine, pyrrole and imidazole. The Schiff bases obtained from corresponding heterocyclic aldehydes, RCHO (R = 2-pyrrolyl, 4-imidazolyl, 2-, 3-, 4-pyridyl), and PhCH2NH2 were caused to react with phosphonates, HP(O)(OR')2 (R' = Ph, PhCH2), to form corresponding heterocyclic aminophosphonates, e.g., RCH[P(O)(OR')2]NHCH2Ph, in good yields. The N-(benzylamino)phosphonates were deblocked by catalytic hydrogenolysis. The benzhydryl group from the phosphonates was removed by acidic hydrolysis, and the carbobenzyloxy group from the phosphonates can be easy removed by treatment with a solution of 30% HBr in HOAc, as well. During acidic hydrolysis of 2- and 4-pyridylmethylaminophosphonates a rearrangement occurred, combined with a cleavage of C-P bond in the phosphonate mols. and subsequent formation of the corresponding amines. E.g., 2-C5NH4CH[P(O)(OPh)2]NHCH2Ph reacted with 20% aq HCl under reflux for 6 h. and upon K2CO3-work-up gave 2-C5NH4CH2NHCH2Ph in 74% yield.
IT 181178-52-9P 181178-55-2P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 181178-52-9 CAPLUS
CN Phosphonic acid, [1H-imidazol-4-yl[(phenylmethyl)amino]methyl]-, diphenyl ester (9CI) (CA INDEX NAME)



RN 181178-55-2 CAPLUS
CN Carbamic acid, [(diphenoxyphosphinyl)-1H-imidazol-4-ylmethyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

L4 ANSWER 9 OF 20 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



L4 ANSWER 10 OF 20 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1994:211522 CAPLUS
DOCUMENT NUMBER: 120:211522
TITLE: Method for identifying inhibitors of tumor necrosis factor convertase, inhibitors, and pharmaceutical uses of these inhibitors
INVENTOR(S): Kriegler, Michael; Perez, Carl; Halenbeck, Robert F.; Jewell, David A.; Kotha, Kirston E.
PATENT ASSIGNEE(S): Cetus Oncology Corp., USA
SOURCE: PCT Int. Appl., 68 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 6
PATENT INFORMATION:

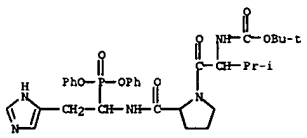
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9400555	A2	19940106	WO 1993-US6120	19930625
WO 9400555	A3	19940217		
W: AU, CA, JP, NO				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
AU 9349917	A1	19940124	AU 1993-49917	19930625
AU 687751	B2	19980305		
EP 648225	A1	19950419	EP 1993-919809	19930625
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
JP 07508650	T2	19950928	JP 1993-502610	19930625
NO 9405021	A	19950217	NO 1994-5021	19941223
PRIORITY APPLN. INFO.:			US 1992-905546 A	19920625
			WO 1993-US6120 A	19930625

OTHER SOURCE(S): MARPAT 120:211522

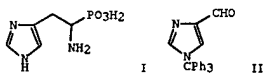
AB An assay for inhibitors of TNF convertase activity comprises anal. of 26 kDa TNF processing by TNF convertase in the absence or presence of a possible inhibitor. The TNF convertase inhibitors may be used to treat a number of diseases, e.g. sepsis, rheumatoid arthritis, cachexia, cerebral malaria, AIDS, and graft-vs.-host disease (no data). The TNF convertase of human HL60 cells was identified as serine protease PR-3 and its cDNA was cloned. A colorimetric assay for convertase inhibitors was devised and antibodies, TNF muteins, peptides, and peptide di-Ph phosphonates were prepared and tested in this system.

IT **153989-15-2P**
RL: PREP (Preparation)
(preparation of, inhibition of tumor necrosis factor convertase with)
RN 153989-15-2 CAPLUS
CN L-Prolinamide, N-[(1,1-dimethylethoxy)carbonyl]-L-valyl-N-[(1R)-1-(diphenoxyphosphinyl)-2-(1H-imidazol-4-yl)ethyl]- (9CI) (CA INDEX NAME)

L4 ANSWER 10 OF 20 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



L4 ANSWER 11 OF 20 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1991:559699 CAPLUS
DOCUMENT NUMBER: 115:159699
TITLE: Synthesis of α-amino-β-(4-imidazolyl)ethylphosphonic acid, the phosphonoisostere of histidine
AUTHOR(S): Wu, Yuanliu; Tishler, Max
CORPORATE SOURCE: Inst. Mater. Med., Chin. Acad. Med. Sci., Beijing, 100050, Peop. Rep. China
SOURCE: Chinese Chemical Letters (1991), 2(2), 95-8
CODEN: CCLEE7; ISSN: 1001-8417
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 115:159699
GI

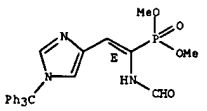


I II

AB A short synthesis of the phosphonoisostere of histidine, α-amino-β-(4-imidazolyl)ethylphosphonic acid (I) from 4-imidazolylmethanol is given. The synthesis features Wittig-Horner reaction of II with diphosphonate HCONHCH(PO3Me2)2, followed by selective detritylation with 50% HCO2H.

IT **136206-39-8P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and detritylation of)
RN 136206-39-8 CAPLUS
CN Phosphonic acid, [1-(formylamino)-2-[1-(triphenylmethyl)-1H-imidazol-4-yl]ethenyl]-, dimethyl ester, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



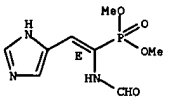
IT **136206-41-2P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 136206-41-2 CAPLUS
CN Phosphonic acid, [1-(formylamino)-2-(1H-imidazol-4-yl)ethenyl]-, dimethyl ester, (E)-, compd. with 2,4,6-trinitrophenol (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 136206-40-1
CMF C8 H12 N3 O4 P

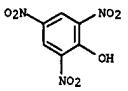
L4 ANSWER 11 OF 20 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

Double bond geometry as shown.



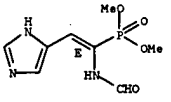
CM 2

CRN 88-89-1
CMF C6 H3 N3 O7



IT **136206-40-1P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation, reduction, and acidic hydrolysis of)
RN 136206-40-1 CAPLUS
CN Phosphonic acid, [1-(formylamino)-2-(1H-imidazol-4-yl)ethenyl]-, dimethyl ester, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

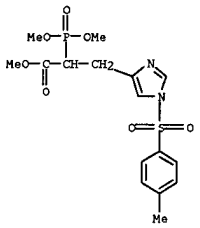


L4 ANSWER 12 OF 20 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 1991:207258 CAPLUS
DOCUMENT NUMBER: 114:207258
TITLE: Preparation of imidazolylalkenoic acids as antihypertensives
INVENTOR(S): Finkelstein, Joseph Alan; Keenan, Richard McCulloch; Weinstock, Joseph
PATENT ASSIGNEE(S): SmithKline Beckman Corp., USA
SOURCE: Eur. Pat. Appl., 51 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 5
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 403159	A2	19901219	EP 1990-306204	19900607
EP 403159	A3	19911227		
EP 403159	B1	20000301		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE				
CA 2018438	AA	19901214	CA 1990-2018438	19900607
CA 2018438	C	20000808		
EP 955294	A2	19991110	EP 1999-115614	19900607
EP 955294	A3	20000419		
EP 955294	B1	20030924		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE				
AT 190051	E	20000315	AT 1990-306204	19900607
ES 2142789	T3	20000501	ES 1990-306204	19900607
AT 250587	E	20031015	AT 1999-115614	19900607
ES 2207091	T3	20040516	ES 1999-115614	19900607
AU 9056901	A1	19910110	AU 1990-56901	19900608
AU 633322	B2	19930128		
IL 94698	A1	19940731	IL 1990-94698	19900611
PL 165609	B1	19950131	PL 1990-285591	19900612
PL 166669	B1	19950630	PL 1990-301863	19900612
PL 166722	B1	19950630	PL 1990-301864	19900612
NO 9002632	A	19901217	NO 1990-2632	19900613
NO 175977	B	19941003		
NO 175977	C	19950111		
ZA 9004579	A	19910626	ZA 1990-4579	19900613
FI 102610	B1	19990115	FI 1990-2970	19900613
CN 1048038	A	19901226	CN 1990-104438	19900614
CN 1027504	B	19950125		
HU 55011	A2	19910429	HU 1990-3847	19900614
HU 208537	B	19931129		
JP 03115278	A2	19910516	JP 1990-156627	19900614
JP 07068223	B4	19950726		
KR 165837	B1	19990218	KR 1990-8739	19900614
CN 1079649	A	19931222	CN 1993-103111	19930316
CN 1048159	B	20000112		
HK 1012384	A1	20001124	HK 1998-113609	19981216
HK 1025315	A1	20040723	HK 2000-102605	19981216
GR 3033452	T3	20000929	GR 2000-401140	20000519
PRIORITY APPLN. INFO.:			US 1989-366079 A	19890614
			US 1990-506412 A	19900406

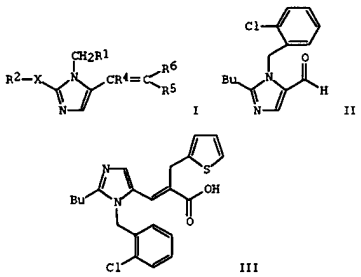
L4 ANSWER 12 OF 20 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



L4 ANSWER 12 OF 20 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

			EP 1990-306204	A3 19900607
			CN 1990-104438	A 19900614

OTHER SOURCE(S): MARPAT 114:207258
GI



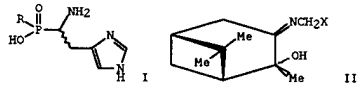
AB Imidazolylalkenoic acids I [R1 = (substituted) Ph, -biphenyl,- naphthyl, or -adamantylmethyl; R2 = C2-10 alkyl, C3-10 alkenyl, C3-10 alkynyl, C3-6 cycloalkyl, (substitutes) CH2)0-8-phenyl; X = bond, S, O; R3 = H, Cl, Br, F, I, CHO, CH2OH, CO2R7, CONR7R7, NO2, CnF2n+1; n = 1-3; R4, R5 = H, C1-6 alkyl, (substituted) thienyl-Y-, pyrazolyl-Y-, imidazolyl-Y-, thiazolyl-Y-, furyl-Y-, pyrrolyl-Y-, etc., and R4, R5 are not both H or C1-6 alkyl; Y = bond, S, O, (substituted) alkyl; R6 = ZCO2R8, ZCONR7R7; Z = bond, vinyl, CH2OCH2, (substituted) methylene, CONHCHR9; R7 = H, C1-4 alkyl, (CH2)mPh; m = 0-4; R8 = H, C1-6 alkyl, 2-di(C1-4 alkyl)amino-2-oxoethyl; R9 = H, C1-4 alkyl, Ph, CH2Ph, thienylmethyl, furylmethyl] were prepared Thus II (preparation given) was subjected to condensation with Me 3-(2-thienyl)propanoate, acetoxylation, DBU-initiated elimination, and basic hydrolysis to give title compound III. III at 1.80 mg/kg i.v. and 8.0 mg/kg orally reduced mean arterial pressure by 30 mm Hg in conscious renal artery ligated rats. Pharmaceutical formulation of I are given.

IT **133486-45-0P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and reaction of, in preparation of antihypertensives)

RN 133486-45-0 CAPLUS
CN 1H-Imidazole-4-propanoic acid, α-(dimethoxyphosphinyl)-1-[(4-methylphenyl)sulfonyl]-, methyl ester (9CI) (CA INDEX NAME)

L4 ANSWER 13 OF 20 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 1990:98644 CAPLUS
DOCUMENT NUMBER: 112:98644
TITLE: Synthesis of 1-aminoalkylphosphinic acids. Part 2. An alkylation approach
AUTHOR(S): McCleery, Patrick P.; Tuck, Brian
CORPORATE SOURCE: Cent. Res. Lab., Ciba-Geigy PLC, Manchester, M17 1WT, UK
SOURCE: Journal of the Chemical Society, Perkin Transactions 1: Organic and Bio-Organic Chemistry (1972-1999) (1989), (7), 1319-29
CODEN: JCPRB4; ISSN: 0300-922X
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 112:98644
GI

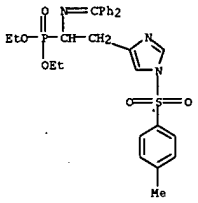


AB Aminomethylphosphinic acid, protected at nitrogen as the imine derived from benzophenone and at phosphorus as the diethylacetal and Et ester, undergoes facile LDA-induced alkylation. Treatment with primary alkyl halides affords, on product hydrolysis, a versatile route to phosphinic analogs of α-aminocarboxylic acids. Analogs of alanine, valine, leucine, phenylalanine, tyrosine, histidine, and aspartic and glutamic acids are thus prepared; the phosphonic histidine analog I (R = H) can be prepared similarly from the imine phosphonate diester. Intra- and intermol. dialkylation reactions provide analogs of 1-aminocyclopropanecarboxylic acid and 2,6-diaminoheptanedioic acid. Benzyl bromide alkylation of [(bicycloheptylideneamino)methyl]phosphinate II [X = P(O)(OEt)CH(OEt)2], where the nitrogen is protected as the imine of the 2-hydroxypinan-3-one chiral auxiliary, is diastereospecific leading to asym. synthesis of either (+)- or (-)-phenylalanine analogs; this selectivity is compared to that shown by the corresponding chiral imine phosphonate and carboxylate II (X = PO3Et2 and CO2Et, resp.).

IT **125402-36-0P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and hydrolysis of)

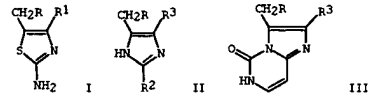
RN 125402-36-0 CAPLUS
CN Phosphonic acid, [1-[(diphenylmethylene)amino]-2-[1-[(4-methylphenyl)sulfonyl]-1H-imidazol-4-yl]ethyl]-, diethyl ester (9CI) (CA INDEX NAME)

L4 ANSWER 13 OF 20 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



L4 ANSWER 14 OF 20 CAPLUS COPYRIGHT 2005 ACS on STN

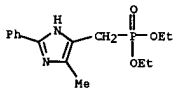
ACCESSION NUMBER: 1989:439464 CAPLUS
DOCUMENT NUMBER: 111:39464
TITLE: A novel approach to (heteroarylmethyl)- and (heteroarylethyl)phosphonates and their free acids
AUTHOR(S): Zbiral, Erich; Drescher, Martina
CORPORATE SOURCE: Inst. Org. Chem., Univ. Wien, Vienna, A-1090, Austria
SOURCE: Synthesis (1988), (9), 735-9
CODEN: SYNTBF; ISSN: 0039-7881
DOCUMENT TYPE: Journal
LANGUAGE: German
OTHER SOURCE(S): CASREACT 111:39464
GI



AB Condensation of (imidazolylmethyl)triphenylphosphonium bromide, (thiazolylmethyl)triphenylphosphonium bromide, and (5-oxo-5,6-dihydroimidazopyrimidinylmethyl)triphenylphosphonium bromide with carbanions of (EtO)2P(O)H or (EtO)2P(O)CH2CO2Et gave the title compds. I [R = (EtO)2P(O), CHP(O)(OEt)2CO2Et; R1 = Me, Ph, CHMe2], II (R2 = Ph, SMe; R3 = Me, Ph) and III. The three phosphonic acids were prepared by cleavage with BrSiMe3.

IT **121503-35-3P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and deesterification of, with bromotrimethylsilane)

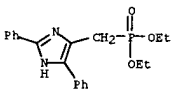
RN 121503-35-3 CAPLUS
CN Phosphonic acid, [(5-methyl-2-phenyl-1H-imidazol-4-yl)methyl]-, diethyl ester (9CI) (CA INDEX NAME)



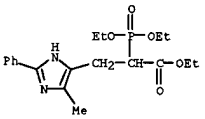
IT **121503-36-4P 121503-40-0P 121503-41-1P**
121503-42-2P 121503-43-3P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

RN 121503-36-4 CAPLUS
CN Phosphonic acid, [(2,5-diphenyl-1H-imidazol-4-yl)methyl]-, diethyl ester (9CI) (CA INDEX NAME)

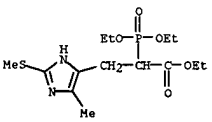
L4 ANSWER 14 OF 20 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



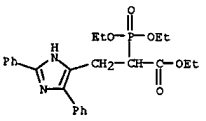
RN 121503-40-0 CAPLUS
CN 1H-Imidazole-4-propanoic acid, α-(diethoxyphosphinyl)-5-methyl-2-phenyl-, ethyl ester (9CI) (CA INDEX NAME)



RN 121503-41-1 CAPLUS
CN 1H-Imidazole-4-propanoic acid, α-(diethoxyphosphinyl)-5-methyl-2-(methylthio)-, ethyl ester (9CI) (CA INDEX NAME)

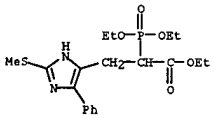


RN 121503-42-2 CAPLUS
CN 1H-Imidazole-4-propanoic acid, α-(diethoxyphosphinyl)-2,5-diphenyl-, ethyl ester (9CI) (CA INDEX NAME)



RN 121503-43-3 CAPLUS
CN 1H-Imidazole-4-propanoic acid, α-(diethoxyphosphinyl)-2-(methylthio)-5-phenyl-, ethyl ester (9CI) (CA INDEX NAME)

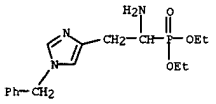
L4 ANSWER 14 OF 20 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



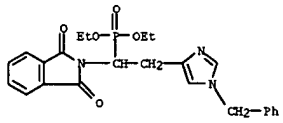
L4 ANSWER 15 OF 20 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1988:423340 CAPLUS
DOCUMENT NUMBER: 109:23340
TITLE: The synthesis and rotational isomerism of [1-amino-2-(4-imidazolyl)ethyl]phosphonic acid [phosphonohistidine, His(P)] and (1-amino-2-(2-imidazolyl)ethyl]phosphonic acid [phosphonoisohistidine, isohis(P)]
AUTHOR(S): Merrett, John H.; Spurden, William C.; Thomas, W. Anthony; Tong, Brian P.; Whitcombe, Ian W. A.
CORPORATE SOURCE: Roche Prod. Ltd., Welwyn Garden City/Hertfordshire, AL7 3AY, UK
SOURCE: Journal of the Chemical Society, Perkin Transactions 1: Organic and Bio-Organic Chemistry (1972-1999) (1988), (1), 61-7
CODEN: JCPRB4; ISSN: 0300-922X
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 109:23340

AB The synthesis of phosphonohistidine [His(P)] and phosphonoisohistidine [Isohis(P)] is described, in each case by a strategy in which the α-aminophosphonic acid grouping is assembled first and the imidazole ring is built last. The key α-aminophosphonic acid building block is phosphonoaspartic acid, protected as the N-acetyl phosphonate di-Et ester derivative The NMR spectra of histidine, isohistidine, phosphonohistidine, and phosphonoisohistidine are analyzed at three pH values, using an iterative spin simulation program to confirm results where necessary. The preferred conformations of the four compds. are determined from vicinal H,H and H,P coupling consts. This allows prediction of the conformational differences to be expected in replacing carboxylate by phosphonate groups. In free energy terms, phosphonate appears to exert a larger steric effect than carboxylate by ca. 1 kcal mol-1.

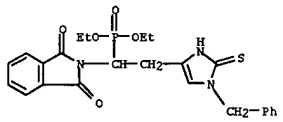
IT **114990-13-5P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and acidic deethylation of)
RN 114990-13-5 CAPLUS
CN Phosphonic acid, [1-amino-2-[1-(phenylmethyl)-1H-imidazol-4-yl]ethyl]-, diethyl ester (9CI) (CA INDEX NAME)



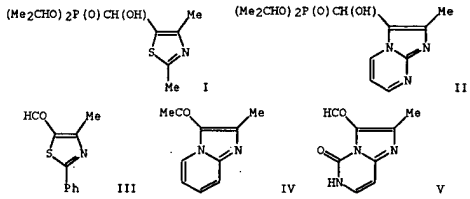
IT **114990-12-4P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation and amine deprotection of, with hydrazine)
RN 114990-12-4 CAPLUS
CN Phosphonic acid, [1-(1,3-dihydro-1,3-dioxo-2H-isoindol-2-yl)-2-[1-(phenylmethyl)-1H-imidazol-4-yl]ethyl]-, diethyl ester (9CI) (CA INDEX NAME)



IT **114990-11-3P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and desulfurization of, with Raney nickel)
RN 114990-11-3 CAPLUS
CN Phosphonic acid, [1-(1,3-dihydro-1,3-dioxo-2H-isoindol-2-yl)-2-(2,3-dihydro-1-(phenylmethyl)-2-thioxo-1H-imidazol-4-yl]ethyl]-, diethyl ester (9CI) (CA INDEX NAME)

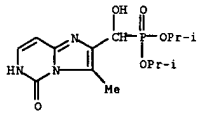


L4 ANSWER 16 OF 20 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1986:109580 CAPLUS
DOCUMENT NUMBER: 104:109580
TITLE: Dialkyl (1,2-epoxy-3-oxoalkyl)phosphonates as synthons for heterocyclic carbonyl compounds: synthesis of acyl-substituted thiazoles, indolizines, imidazo[1,2-a]pyridines, and imidazo[1,2-a]pyrimidines
AUTHOR(S): Oehler, Elisabeth; El-Badawi, Mahmoud; Zbiral, Erich
CORPORATE SOURCE: Inst. Org. Chem., Univ. Wien, Vienna, A-1090, Austria
SOURCE: Chemische Berichte (1985), 118(10), 4099-130
CODEN: CHBEAM; ISSN: 0009-2940
DOCUMENT TYPE: Journal
LANGUAGE: German
OTHER SOURCE(S): CASREACT 104:109580
GI

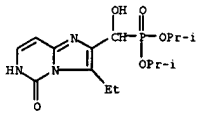


AB Dialkyl [(E)-3-oxo-1-alkenyl]phosphonates react with H2O2/Na2CO3 to give the corresponding trans-1,2-epoxy derivs. These, on reaction with thioamides, afford (1-hydroxy-1-thiazolylalkyl)phosphonates, e.g. I, with Et α-pyridylacetate (indolizinylalkyl)phosphonates, with 2-aminopyridine (imidazo[1,2-a]pyridinylalkyl)phosphonates, and with 2-aminopyrimidine the (imidazo[1,2-a]-pyrimidinylalkyl)phosphonates, e.g. II. On treatment with alkali or by pyrolysis the (1-hetaryl-1-hydroxyalkyl)phosphonates yield the corresponding acyl-substituted heterocycles (thiazoles e.g., III, and bicyclic acyl compds., e.g. IV). The structure of the bicyclic derivs. is assigned from the considerable deshielding of their 5-H NMR signals caused by the electron-rich substituents in peri-3-position. Condensation of the epoxyketones with cytosine results in the isomeric (imidazo[1,2-c]pyrimidinylalkyl)phosphonates, which can be cleaved to the corresponding aldehydes, e.g. V.

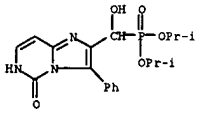
IT **89021-31-8P 100289-24-5P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation and cleavage of, carboxaldehyde derivative from)
RN 89021-31-8 CAPLUS
CN Phosphonic acid, [(5,6-dihydro-3-methyl-5-oxoimidazo[1,2-c]pyrimidin-2-yl)hydroxymethyl]-, bis(1-methylethyl) ester (9CI) (CA INDEX NAME)



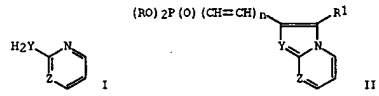
RN 100289-24-5 CAPLUS
CN Phosphonic acid, [(3-ethyl-5,6-dihydro-5-oxoimidazo[1,2-c]pyrimidin-2-yl)hydroxymethyl]-, bis(1-methylethyl) ester (9CI) (CA INDEX NAME)



IT **100289-28-9P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 100289-28-9 CAPLUS
CN Phosphonic acid, [(5,6-dihydro-5-oxo-3-phenylimidazo[1,2-c]pyrimidin-2-yl)hydroxymethyl]-, bis(1-methylethyl) ester (9CI) (CA INDEX NAME)



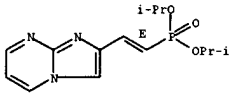
L4 ANSWER 17 OF 20 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1985:6697 CAPLUS
DOCUMENT NUMBER: 102:6697
TITLE: Synthesis of heteroaryl- and heteroarylvinylphosphonates from 2-bromo-1-oxoalkyl- and 4-bromo-3-oxo-1-alkenylphosphonates
AUTHOR(S): Oehler, Elisabeth; El-Badawi, Mahmoud; Zbiral, Erich
CORPORATE SOURCE: Inst. Org. Chem., Univ. Wien, Vienna, A-1090, Austria
SOURCE: Chemische Berichte (1984), 117(10), 3034-47
CODEN: CHBEAM; ISSN: 0009-2940
DOCUMENT TYPE: Journal
LANGUAGE: German
OTHER SOURCE(S): CASREACT 102:6697
GI



I II

AB Cyclization of (RO)2P(O)(CH:CH)nCOCHR1Br (R = Et, n = 0, R1 = H, Me, Ph; R = Me2CH, n = 1, R1 = same as above) with heterocycles I [Z = CH, Y = C(CO2Et), N; Z = Y = N] gave II.
IT **93544-98-0P 93544-99-1P 93545-46-1P 93545-47-2P 93545-48-3P 93545-49-4P 93545-50-7P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 93544-98-0 CAPLUS
CN Phosphonic acid, (2-imidazo[1,2-a]pyrimidin-2-ylethenyl)-, bis(1-methylethyl) ester, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



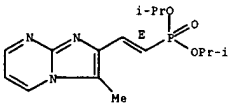
RN 93544-99-1 CAPLUS
CN Phosphonic acid, (2-imidazo[1,2-a]pyrimidin-2-ylethenyl)-, bis(1-methylethyl) ester, (E)-, ethanedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 93544-98-0

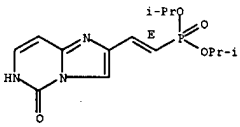
L4 ANSWER 17 OF 20 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
bis(1-methylethyl) ester, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



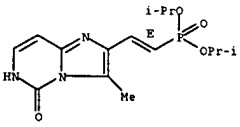
RN 93545-49-4 CAPLUS
CN Phosphonic acid, [2-(5,6-dihydro-5-oxoimidazo[1,2-c]pyrimidin-2-yl)ethenyl]-, bis(1-methylethyl) ester, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



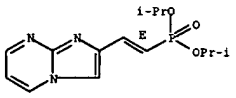
RN 93545-50-7 CAPLUS
CN Phosphonic acid, [2-(5,6-dihydro-3-methyl-5-oxoimidazo[1,2-c]pyrimidin-2-yl)ethenyl]-, bis(1-methylethyl) ester, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



L4 ANSWER 17 OF 20 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
CMF C14 H20 N3 O3 P

Double bond geometry as shown.

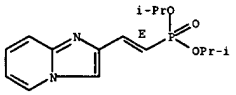


CM 2

CRN 144-62-7
CMF C2 H2 O4

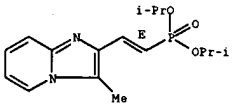
RN 93545-46-1 CAPLUS
CN Phosphonic acid, (2-imidazo[1,2-a]pyridin-2-ylethenyl)-, bis(1-methylethyl) ester, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



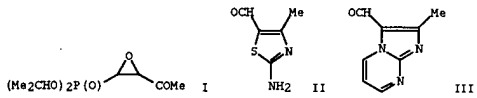
RN 93545-47-2 CAPLUS
CN Phosphonic acid, [2-(3-methylimidazo[1,2-a]pyridin-2-yl)ethenyl]-, bis(1-methylethyl) ester, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



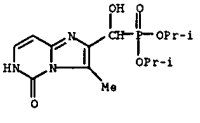
RN 93545-48-3 CAPLUS
CN Phosphonic acid, [2-(3-methylimidazo[1,2-a]pyrimidin-2-yl)ethenyl]-,

L4 ANSWER 18 OF 20 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1984:103287 CAPLUS
DOCUMENT NUMBER: 100:103287
TITLE: A novel and versatile synthesis of heterocyclic aldehydes using dialkyl 3-oxo-1-alkenyl-phosphonates
AUTHOR(S): Oehler, Elisabeth; Zbiral, Erich; El-Badawi, Mahmoud
CORPORATE SOURCE: Inst. Org. Chem., Univ. Wien, Vienna, A-1090, Austria
SOURCE: Tetrahedron Letters (1983), 24(50), 5599-602
CODEN: TELEAY; ISSN: 0040-4039
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 100:103287
GI



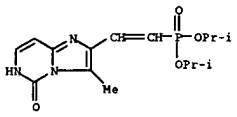
I II III

AB Treating (1,2-epoxy-3-oxoalkyl)phosphonates, e.g., I, easily prepared from the corresponding alkenylphosphonates, with ambident nucleophiles gave dialkyl (hetarylhydroxymethyl)phosphonates, which can be transformed to heterocyclic aldehydes, e.g., II and III.
IT **89021-31-8P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation and alkaline cleavage of)
RN 89021-31-8 CAPLUS
CN Phosphonic acid, [(5,6-dihydro-3-methyl-5-oxoimidazo[1,2-c]pyrimidin-2-yl)hydroxymethyl]-, bis(1-methylethyl) ester (9CI) (CA INDEX NAME)



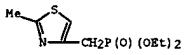
IT **89021-29-4P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 89021-29-4 CAPLUS
CN Phosphonic acid, [2-(5,6-dihydro-3-methyl-5-oxoimidazo[1,2-c]pyrimidin-2-yl)ethenyl]-, bis(1-methylethyl) ester (9CI) (CA INDEX NAME)

L4 ANSWER 18 OF 20 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



L4 ANSWER 19 OF 20 CAPLUS COPYRIGHT 2005 ACS on STN

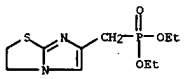
ACCESSION NUMBER: 1979:168672 CAPLUS
DOCUMENT NUMBER: 90:168672
TITLE: Reactivity of diethyl 3-bromo-2-oxopropyl phosphonate in the Hantzsch reaction
AUTHOR(S): Baboulene, Michel; Sturtz, Georges
CORPORATE SOURCE: Lab. Chim. Heteroorg., Fac. Sci. Brest, Brest, Fr.
SOURCE: Phosphorus and Sulfur and the Related Elements (1978), 5(1), 87-94
CODEN: PREEDF; ISSN: 0308-664X
DOCUMENT TYPE: Journal
LANGUAGE: French
GI



AB The reactivity of di-Et 3-bromo-2-oxopropylphosphonate was studied under conditions of the Hantzsch reaction. Various thiazolyl (e.g. I) and imidazothiazolyl heterocycles were obtained. In the pharmacol. screening (radioprotection, CNS), these compds. did not show any potential therapeutic activity.

IT **63928-46-1P 63928-47-2P 63928-48-3P**
63958-23-6P 63958-24-7P 69907-59-1P
69907-60-4P 69907-61-5P 69941-08-8P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

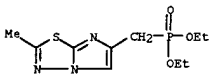
RN 63928-46-1 CAPLUS
CN Phosphonic acid, [(2,3-dihydroimidazo[2,1-b]thiazol-6-yl)methyl]-, diethyl ester, monohydrobromide (9CI) (CA INDEX NAME)



● HBr

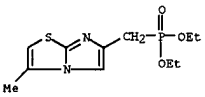
RN 63928-47-2 CAPLUS
CN Phosphonic acid, [(2-methylimidazo[2,1-b]-1,3,4-thiadiazol-6-yl)methyl]-, diethyl ester, monohydrobromide (9CI) (CA INDEX NAME)

L4 ANSWER 19 OF 20 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



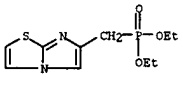
● HBr

RN 63928-48-3 CAPLUS
CN Phosphonic acid, [(3-methylimidazo[2,1-b]thiazol-6-yl)methyl]-, diethyl ester, monohydrobromide (9CI) (CA INDEX NAME)



● HBr

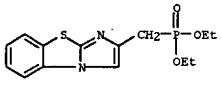
RN 63958-23-6 CAPLUS
CN Phosphonic acid, (imidazo[2,1-b]thiazol-6-ylmethyl)-, diethyl ester, monohydrobromide (9CI) (CA INDEX NAME)



● HBr

RN 63958-24-7 CAPLUS
CN Phosphonic acid, (imidazo[2,1-b]benzothiazol-2-ylmethyl)-, diethyl ester, monohydrobromide (9CI) (CA INDEX NAME)

L4 ANSWER 19 OF 20 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

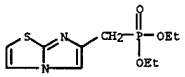


● HBr

RN 69907-59-1 CAPLUS
CN Phosphonic acid, (imidazo[2,1-b]thiazol-6-ylmethyl)-, diethyl ester, compd. with 2,4,6-trinitrophenol (1:1) (9CI) (CA INDEX NAME)

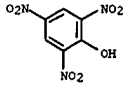
CM 1

CRN 63958-25-8
CMF C10 H15 N2 O3 P S



CM 2

CRN 88-89-1
CMF C6 H3 N3 O7

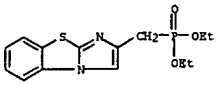


RN 69907-60-4 CAPLUS
CN Phosphonic acid, (imidazo[2,1-b]benzothiazol-2-ylmethyl)-, diethyl ester, compd. with 2,4,6-trinitrophenol (1:1) (9CI) (CA INDEX NAME)

CM 1

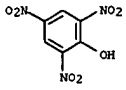
CRN 63958-27-0
CMF C14 H17 N2 O3 P S

L4 ANSWER 19 OF 20 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



CM 2

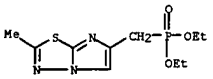
CRN 88-89-1
CMF C6 H3 N3 O7



RN 69907-61-5 CAPLUS
CN Phosphonic acid, [(2-methylimidazo[2,1-b]-1,3,4-thiadiazol-6-yl)methyl]-, diethyl ester, compd. with 2,4,6-trinitrophenol (1:1) (9CI) (CA INDEX NAME)

CM 1

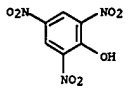
CRN 63958-29-2
CMF C10 H16 N3 O3 P S



CM 2

CRN 88-89-1
CMF C6 H3 N3 O7

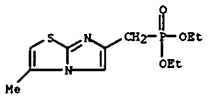
L4 ANSWER 19 OF 20 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



RN 69941-08-8 CAPLUS
CN Phosphonic acid, [(3-methylimidazo[2,1-b]thiazol-6-yl)methyl]-, diethyl ester, compd. with 2,4,6-trinitrophenol (1:1) (9CI) (CA INDEX NAME)

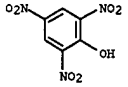
CM 1

CRN 63928-49-4
CMF C11 H17 N2 O3 P S

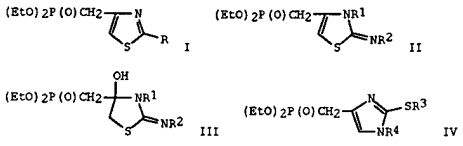


CM 2

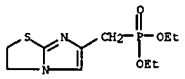
CRN 88-89-1
CMF C6 H3 N3 O7



L4 ANSWER 20 OF 20 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1977:517807 CAPLUS
DOCUMENT NUMBER: 87:117807
TITLE: Synthesis of diethyl thiazolyl- and imidazothiazolylmethylphosphonates
AUTHOR(S): Baboulene, Michel; Sturtz, Georges
CORPORATE SOURCE: Lab. Chim. Heterocorg., Fac. Sci., Brest, Fr.
SOURCE: Comptes Rendus des Seances de l'Academie des Sciences, Serie C: Sciences Chimiques (1977), 284(19), 799-802
CODEN: CHDCAQ; ISSN: 0567-6541
DOCUMENT TYPE: Journal
LANGUAGE: French
GI



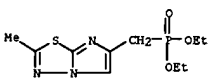
AB Thiazolylphosphonates I (R = Me, Ph, 4-pyridyl, NH2, NHAc) were prepared in 10-80% yield by treating (EtO)2P(O)CH2COCH2Br with RCSNH2. II (R1 = R2 = Me, 4-MeC6H4; R1R2 = CH2CH2, CH2CO, COCH2, o-C6H4) were similarly obtained from R1NHCSNHR2 and were accompanied by III (R1 = R2 = Me, 4-MeC6H4). IV (R3R4 = CH:CH, CH2CH2, o-C6H4, CMe:N, CH:CMe) were obtained by treating R4N:C(SR3)NH2 with (EtO)2P(O)CH2COCH2Br.
IT **63928-46-1P 63928-47-2P 63928-48-3P 63928-50-7P 63958-23-6P 63958-24-7P 63958-26-9P 63958-28-1P 63958-30-5P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 63928-46-1 CAPLUS
CN Phosphonic acid, [(2,3-dihydroimidazo[2,1-b]thiazol-6-yl)methyl]-, diethyl ester, monohydrobromide (9CI) (CA INDEX NAME)



● HBr

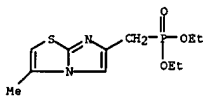
RN 63928-47-2 CAPLUS
CN Phosphonic acid, [(2-methylimidazo[2,1-b]-1,3,4-thiadiazol-6-yl)methyl]-, diethyl ester, monohydrobromide (9CI) (CA INDEX NAME)

L4 ANSWER 20 OF 20 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



● HBr

RN 63928-48-3 CAPLUS
CN Phosphonic acid, [(3-methylimidazo[2,1-b]thiazol-6-yl)methyl]-, diethyl ester, monohydrobromide (9CI) (CA INDEX NAME)

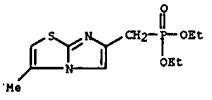


● HBr

RN 63928-50-7 CAPLUS
CN Phosphonic acid, [(3-methylimidazo[2,1-b]thiazol-6-yl)methyl]-, diethyl ester, compd. with 2,4,6-trinitrophenol (9CI) (CA INDEX NAME)

CM 1

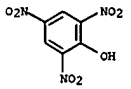
CRN 63928-49-4
CMF C11 H17 N2 O3 P S



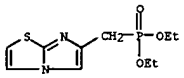
CM 2

CRN 88-89-1
CMF C6 H3 N3 O7

L4 ANSWER 20 OF 20 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

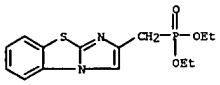


RN 63958-23-6 CAPLUS
CN Phosphonic acid, (imidazo[2,1-b]thiazol-6-ylmethyl)-, diethyl ester, monohydrobromide (9CI) (CA INDEX NAME)



● HBr

RN 63958-24-7 CAPLUS
CN Phosphonic acid, (imidazo[2,1-b]benzothiazol-2-ylmethyl)-, diethyl ester, monohydrobromide (9CI) (CA INDEX NAME)



● HBr

RN 63958-26-9 CAPLUS
CN Phosphonic acid, (imidazo[2,1-b]thiazol-6-ylmethyl)-, diethyl ester, compd. with 2,4,6-trinitrophenol (9CI) (CA INDEX NAME)

CM 1

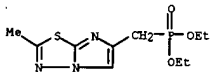
CRN 63958-25-8
CMF C10 H15 N2 O3 P S

L4 ANSWER 20 OF 20 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

RN 63958-30-5 CAPLUS
CN Phosphonic acid, [(2-methylimidazo[2,1-b]-1,3,4-thiadiazol-6-yl)methyl]-, diethyl ester, compd. with 2,4,6-trinitrophenol (9CI) (CA INDEX NAME)

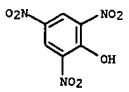
CM 1

CRN 63958-29-2
CMF C10 H16 N3 O3 P S

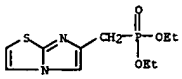


CM 2

CRN 88-89-1
CMF C6 H3 N3 O7

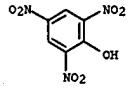


L4 ANSWER 20 OF 20 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



CM 2

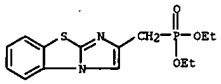
CRN 88-89-1
CMF C6 H3 N3 O7



RN 63958-28-1 CAPLUS
CN Phosphonic acid, (imidazo[2,1-b]benzothiazol-2-ylmethyl)-, diethyl ester, compd. with 2,4,6-trinitrophenol (9CI) (CA INDEX NAME)

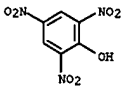
CM 1

CRN 63958-27-0
CMF C14 H17 N2 O3 P S



CM 2

CRN 88-89-1
CMF C6 H3 N3 O7



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=> logoff
ALL L# QUERIES AND ANSWER SETS ARE DELETED AT LOGOFF
LOGOFF? (Y)/N/HOLD:y
COST IN U.S. DOLLARS                                SINCE FILE      TOTAL
                                                       ENTRY    SESSION
FULL ESTIMATED COST                                   104.65     266.19

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)          SINCE FILE      TOTAL
                                                       ENTRY    SESSION
CA SUBSCRIBER PRICE                                   -14.60     -14.60

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